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New beta-keto-alcohol derivatives - useful as intermediates for epothilone(s)

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Patent Details:

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Abstract (Basic): DE 19701758 A

beta -Ketoalcohol derivatives of formula

G1C(R1)(R1)CH(OM)C(R2)(R2)G2 (III) are new. G1 = COO-alkyl, COO-aryl, COO-cycloalkyl, CO2M, CO2PG, CONHn(PG)2-n, CON(alkyl)2, COR2, COR*, CN, COO-spacer-polymer or CONH-spacer-polymer; G2 = COO-alkyl, COO-aryl, COO-cycloalkyl, CO2M, CO2PG, CONHn(PG)2-n, CON(alkyl)2, COR2, COR*, CN, COO-spacer-polymer, CONH-spacer-polymer, CH2OR2, CH2O(PG), CH(OR2)2, CH(O-PG)2 or CH=N-PG; R1 = H, F, alkyl, vinyl, cycloalkyl, O-alkyl, Cn'F3-n', oxacycloalkyl, spirocycloalkyl or oxaspirocycloalkyl; R2 = H, alkyl, vinyl, aryl, CnF3-n, cycloalkyl, O-alkyl, oxacycloalkyl, spirocycloalkyl or oxaspirocycloalkyl; R3 = H, X, omega -nerol, geraniol, nerylacetone, geranylacetone or their derivatives; M = metal cation, H or non-metallic cation; R* = chiral auxiliary; X = halo or leaving group; alkyl = 1-7C alkyl; cycloalkyl = 3-7C cycloalkyl; aryl = optionally mono- to penta-substituted phenyl or benzyl; n = 0-2; and n' = 0-3.

USE - (III) are useful as intermediates for epothilones.

ADVANTAGE - The use of (III) avoids the problem of retro-aldol reactions encountered in prior art synthesis of epothilones.

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Derwent Class: B05; C03; E19

International Patent Class (Main): C07C-069/66

International Patent Class (Additional): C07C-051/347; C07C-059/00; C07C-067/30; C07C-235/02; C07C-255/11; C07D-263/20; C07D-493/04